

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
3 November 2005 (03.11.2005)

PCT

(10) International Publication Number
WO 2005/103011 A1

(51) International Patent Classification⁷: **C07D 231/16**,
A61K 31/415, A61P 25/22, 25/24, 25/18

(74) Agents: **SPRUCE, Lyle** et al.; Arena Pharmaceuticals,
Inc., 6166 Nancy Ricge Drive, San Diego, California
92121-3223 (US).

(21) International Application Number:
PCT/US2004/023880

(22) International Filing Date: 23 July 2004 (23.07.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/555,626 23 March 2004 (23.03.2004) US

(71) Applicant (for all designated States except US): **ARENA
PHARMACEUTICALS, INC.** [US/US]; 6166 Nancy
Ridge Drive, San Diego, CA 92121-3223 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **FRITCH, John
Robert** [US/US]; 23622 Calistoga Place, Ramona, ca
92065 (US). **CARLETON, Fiona M.** [IE/US]; 151241
Amalia Street, San Diego, CA 92129 (US). **LALLY,
Edward A.** [US/US]; 1727 Chalcedony Street, Apt. 25,
San Diego, CA 92109 (US). **LI, Hongmei** [CN/US];
16 Hemlock Circle, Warren, New Jersey 07059 (US).
TEEGARDEN, Bradley [US/US]; 11933 Windom Peak
Way, San Diego, CA 92131 (US).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

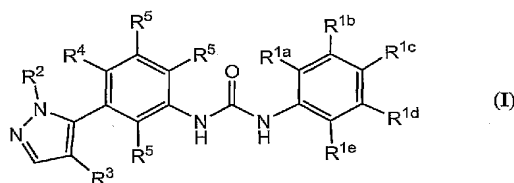
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: PROCESSES FOR PREPARING SUBSTITUTED N-ARYL-N'-[3-(1H-PYRAZOL-5-YL) PHENYL] UREAS AND INTERMEDIATES THEREOF



(57) Abstract: The present invention is directed to processes for the preparation of substituted phenylpyrazole ureas of Formula (I), that are useful as 5-HT_{2A} serotonin receptor modulators for the treatment of disease.



WO 2005/103011 A1